

At the end of the cultivation, the culture plate was frozen at -20°C . in a freezer until measurement. The cells were collected on a glass fiber membrane with a cell harvester and then scintillation solution was added. The amount of ^3H -thymine nucleotides incorporated into the cells' DNA was read on a Beta counter. The cell proliferation was represented by the cpm value.

[0158] IC_{50} values for in vitro immunosuppressive activity of Compounds CK2S-001, CK21S-001-b, CK21S-002, CK21S-002-b, CK2S-003, CK21S-003-b, CK2S-004, CK21S-004-b, CK21S-005 CK21S-005-b and triptolide were shown in Table 4. All compounds had in vitro immunosuppressive activity, which was comparable to that of the positive control Triptolide.

TABLE 4

In vitro immunosuppressive activity as IC_{50} (μM)		
IC_{50} (μM)	mouse B lymphocytes induced by LPS	mouse T lymphocytes induced by ConA
CK21S-001	0.006	0.008
CK21S-001-b	0.010	0.011
CK21S-002	0.020	0.021
CK21S-002-b	0.010	0.012
CK21S-003	0.004	0.006
CK21S-003-b	0.005	0.006
CK21S-004	0.009	0.012
CK21S-004-b	0.025	0.030
CK21S-005	0.010	0.012
CK21S-005-b	0.009	0.011
CK21S-006	0.008	0.010
CK21S-006-b	0.015	0.016
CK21S-007	0.017	0.015
CK21S-008	0.009	0.010
CK21S-009	0.008	0.008
Triptolide	0.004	0.005

Example 13

[0159] Detection of In Vivo Antitumor Activity of Small Molecule Compound CK21S-005 and Triptolide

[0160] Human pancreatic cancer cell AsPC-1 was subcutaneously inoculated on the right abdominal side of male nude mice. Tumor-bearing mice were randomly divided into 5 groups: negative control group (Control, $n=8$, blank emulsion, i.p./i.v., qd); Triptolide group (Triptolide, $n=8$, 0.25 mg/kg, i.v., qd); positive control group (Gemcitabine, $n=8$, 50 mg/kg, i.p., tiw); CK21S-005 emulsion group (CK21S-005 emulsion, $n=8$, 5 mg/kg, i.p./i.v., qd); and CK21S-005 emulsion group (CK21S-005 emulsion, $n=8$, 2.5 mg/kg, i.p./i.v., qd). Mice were administered in groups until the end of the experiment. The changes in tumor size and tumor-bearing mouse weight over time were monitored during the 2-week administration period, and tumors were weighed at the end of the experiment to comprehensively evaluate the inhibitory effect of the drug CK21S-005 on tumor growth.

[0161] The preparation method of the emulsion was as follows. A prescribed amount of injection oil was weighed and heated to $70-90^{\circ}\text{C}$. A prescribed amount of raw material was weighed, dispersed in the oil phase and completely dissolved under shear-stirring to form an oil phase. A prescribed amount of injection water was weighed and

heated to 70°C . A prescribed amount of phospholipid, co-emulsifier, glycerin, etc. were added and dispersed under shear to form an aqueous phase. Under high-speed shearing condition, the oil phase was slowly added into the aqueous phase and the mixture was sheared for 3-15 min to form a primary emulsion. The primary emulsion was homogenized under high pressure at 400-1000 bar for 3-6 times to form a uniformly distributed emulsion. The emulsion was sub-packed in a glass container (such as ampule) and subjected to moist heat sterilization at 121°C . for 15 minutes. The sterilized sample was sent to QC laboratory for detection.

[0162] The tumor volume and tumor weight data (see FIG. 1) showed that the intravenous or intraperitoneal administration of CK21S-005 emulsion (5 mg/kg, labeled as 5 mpk), CK21S-005 emulsion (2.5 mg/kg, labeled as 2.5 mpk), or Triptolide emulsion (0.25 mg/kg) had significant inhibition effect on pancreatic cancer AsPC-1 tumor-bearing mice, which was significantly better than that of the positive control gemcitabine group.

[0163] The mouse weight data (see FIG. 2) showed that the administration of CK21S-005 emulsion (2.5 mg/kg, 5 mg/kg) or Triptolide emulsion (0.25 mg/kg) (intravenous or intraperitoneal administration) significantly reduced body weight of mouse. From the 6th day of continuous i.v. administration of Triptolide emulsion (0.25 mg/kg), the mice died one after another, with severe ulceration in the tail, and autopsy showed black lung necrosis in the whole lung, and 5/8 of the mice died. Triptolide emulsion was highly toxic at this dose which was a lethal dose. No death was observed in the CK21S-005 emulsion group, and all 8 mice survived to the end of the administration. It can be seen that the safety of CK21S-005 is better than that of triptolide.

[0164] All documents mentioned in the present invention are incorporated by reference in the present application, as if each document was individually incorporated by reference. In addition, it should be understood that after reading the above teaching content of the present invention, those skilled in the art can make various changes or modifications to the present invention, and these equivalent forms also fall within the scope defined by the appended claims of the present application.

1. A compound represented by formula I, or a pharmaceutically acceptable salt thereof, or an enantiomer, a diastereomer, a tautomer, a solvate, a polymorph or a prodrug thereof,

